09737687

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1613sxw

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	SEP 09	CA/CAplus records now contain indexing from 1907 to the present
NEWS 4	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS 5	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 6	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS 7	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS 8	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation
NEWS 9	AUG 18	Simultaneous left and right truncation added to ANABSTR
NEWS 10	SEP 22	DIPPR file reloaded
NEWS 11	SEP 25	INPADOC: Legal Status data to be reloaded
NEWS 12	SEP 29	DISSABS now available on STN
NEWS 13	OCT 10	PCTFULL: Two new display fields added
NEWS 14	OCT 21	BIOSIS file reloaded and enhanced
NEWS 15	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced

NEWS EXPRESS	NOVEMBER 14 CURRENT WINDOWS VERSION IS V6.01c, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:50:33 ON 14 NOV 2003

=> fil reg
COST IN U.S. DOLLARS SINCE FILE TOTAL

09737687

	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:51:14 ON 14 NOV 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 13 NOV 2003 HIGHEST RN 616855-37-9
DICTIONARY FILE UPDATES: 13 NOV 2003 HIGHEST RN 616855-37-9

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

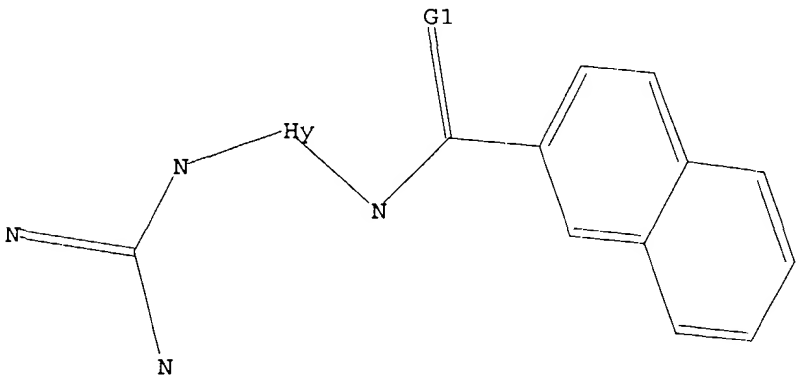
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09737687.str

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 17:51:30 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 795 TO ITERATE

100.0% PROCESSED 795 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 14209 TO 17591
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 17:51:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 15291 TO ITERATE

100.0% PROCESSED 15291 ITERATIONS 7 ANSWERS
SEARCH TIME: 00.00.03

L3 7 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 148.15 148.36

FILE 'CAPLUS' ENTERED AT 17:51:40 ON 14 NOV 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 14 Nov 2003 VOL 139 ISS 21
FILE LAST UPDATED: 13 Nov 2003 (20031113/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3 full
L4 2 L3

=> d l4 1-2 ibib abs hitstr

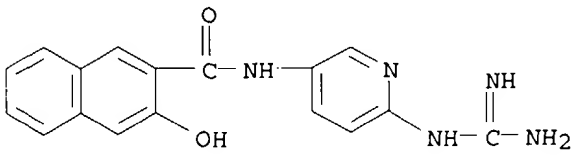
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:510525 CAPLUS
DOCUMENT NUMBER: 138:180188
TITLE: 4-Aminoarylguanidine and 4-aminobenzamidine derivatives as potent and selective urokinase-type plasminogen activator inhibitors
AUTHOR(S): Spencer, Jeffrey R.; McGee, Danny; Allen, Darin; Katz,

Bradley A.; Luong, Christine; Sendzik, Martin; Squires, Neil; Mackman, Richard L.
CORPORATE SOURCE: Celera, South San Francisco, CA, 94080, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(15), 2023-2026
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:180188

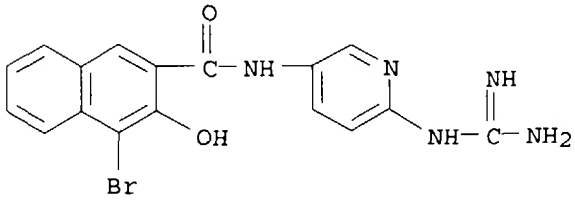
AB The structure-based design of potent and selective urokinase-type plasminogen activator (uPA) inhibitors with 4-aminoarylamidine or 4-aminoarylguanidine S1 binding groups, is described.

IT **345237-31-2 498565-31-4 498565-32-5 498565-33-6 498565-34-7**
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(aminoarylguanidine and aminobenzamidine derivs. as potent and selective urokinase-type plasminogen activator inhibitors)

RN 345237-31-2 CAPLUS
CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-hydroxy- (9CI) (CA INDEX NAME)



RN 498565-31-4 CAPLUS
CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-4-bromo-3-hydroxy- (9CI) (CA INDEX NAME)



RN 498565-32-5 CAPLUS
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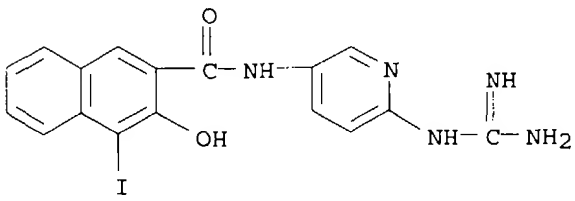

RN 498565-33-6 CAPLUS
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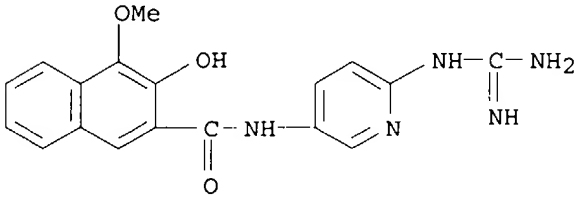
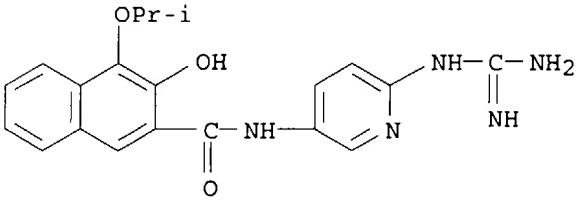


RN 498565-34-7 CAPLUS
CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-hydroxy-4-(1-methylethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:453001 CAPLUS
DOCUMENT NUMBER: 135:46002
TITLE: Synthesis and use of amidino/guanidino-arylamino salicylamides as serine protease inhibitors for treatment of cancer related disorders
INVENTOR(S): Allen, Darin Arthur; McGee, Danny Peter Claude; Spencer, Jeffrey R.
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044172	A1	20010621	WO 2000-US34211	20001214

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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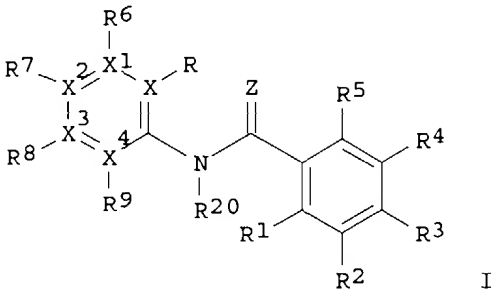
US 2002052343 A1 20020502 US 2000-737687 20001214
EP 1242366 A1 20020925 EP 2000-984472 20001214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.: US 1999-170916P P 19991215
WO 2000-US34211 W 20001214
OTHER SOURCE(S): MARPAT 135:46002
GI



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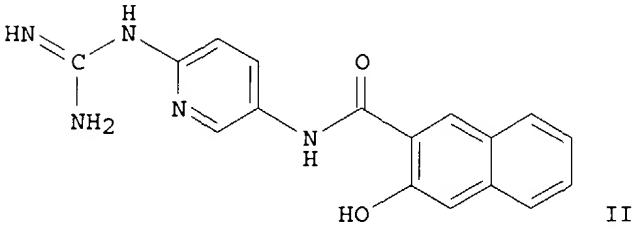
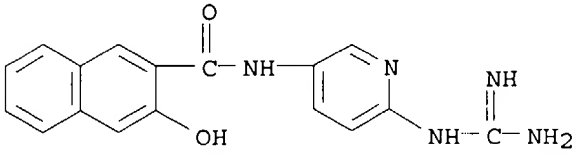


II

AB Compds. I and a process for their synthesis are claimed [wherein; R1 = OH, CO2H, ester, CH2O-, (O)SO3H, sulfonate ester or OP(O)(OH)2 or esters thereof; R2-5 = H, SH, O-, halo, ester, amide, (substituted)aryl, heterocyclyl, etc.; R, R6, R9 = H, halo, CN, (halo)alkyl, NO2, O-aryl/alkyl or R, R6 taken together form (un)satd. (un)substituted C4; R7, R8 = OH, CF3, H, CO2H, NO2, (O)alkyl/aryl, halo, cyano, (substituted)guanidino/amidino, imidazolin-2-yl, N-amidino(morpholine/piperidine), etc.; X includes C; X1-4 = C or N; R20 = H or OH; Z = O, S, CH2, N-, H(CO2H), H(CH2OH), etc.; with the proviso that at least 2 of X1-4 = C and when any of X1-4 = N the corresponding substituent does not exist]. Data for over 40 synthetic examples is provided. The process claimed involves a selective acylation of an amino group and is exemplified by the synthesis of II. 3-Acetoxy-2-chlorocarbonylnaphthalene was prepd. from the corresponding carboxylic acid and coupled, in the presence of N,N-dimethylacetamide (or other selected acetamides), to N-(5-aminopyridin-2-yl)guanidine hydrochloride to give the acetoxy deriv. of II. The acetoxy deriv. was treated with 1M HCl for 2 h to provide II, isolated as the HCl salt. Compds. of the invention are inhibitors of serine proteases, urokinase (uPA), factor Xa (FXa) and/or factor VIIa (FVIIa). Guanidine II had Ki = 0.326 .mu.M for urokinase and Ki = 130 .mu.M for FXa. Compds. I are anticancer agents and/or anticoagulants and also used for the treatment or prevention of thromboembolic disorders in mammals.

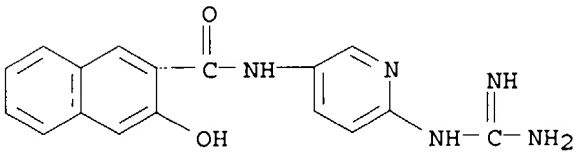
IT **345237-02-7P 345237-31-2P 345237-32-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; synthesis and use of amidino/guanidino-arylamino salicylamides as serine protease inhibitors)

RN 345237-02-7 CAPLUS
CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-hydroxy-, hydrochloride (10:13) (9CI) (CA INDEX NAME)

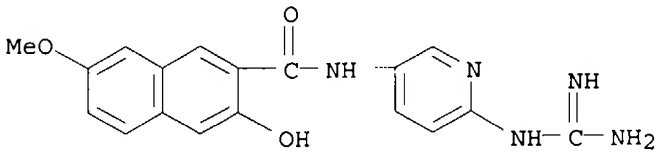


●13/10 HCl

RN 345237-31-2 CAPLUS
CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-hydroxy- (9CI) (CA INDEX NAME)



RN 345237-32-3 CAPLUS
CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-hydroxy-7-methoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT